## CLAIMS

1. An inhibitor against human chymase activity containing a benzimidazole derivative expressed by the following formula (1) or its salt as an active ingredient,

lin the formula (1), the ring marked with A expresses a pyridine ring or a benzene ring;

X¹ and X² are each at the same time or independently a hydrogen atom, a halogen atom, a trihalomethyl group, a hydroxyl group, a nitro group, a cyano group, -CH2NH2, -CH=NR¹, -CH=NOR¹ or -CONR¹R² (here, R¹ and R² are each a hydrogen atom or a C¹-4 alkyl group), -COOR³ (here, R³ is a hydrogen atom or a C¹-4 alkyl group), a substituted or unsubstituted C¹-6 normal, cyclic or branched alkyl group, a substituted or unsubstituted C¹-6 normal or branched alkoxyl group, a substituted or unsubstituted C¹-6 normal or branched alkylthio group, a substituted or unsubstituted C¹-6 normal or branched alkylsulfonyl group or a substituted or unsubstituted C¹-6 normal or branched alkylsulfonyl group (the substituent permissible to the groups is a halogen atom, a hydroxyl group, a nitro group, a cyano group, an acyl group, a trihalomethyl group, a trihalomethoxy group, a phenyl group, an oxo group or a phenoxy group optionally substituted with one or more halogen atoms, and the substituent may substitute singly or plurally independently at arbitrary position(s)};

B is a substituted or unsubstituted  $C_{1\cdot6}$  normal, cyclic or branched alkylene group or a substituted or unsubstituted  $C_{2\cdot6}$  normal or branched alkenylene group {the substituent permissible to the groups is a halogen atom, a hydroxyl group, a nitro group, a cyano group, a  $C_{1\cdot6}$  normal or branched alkoxyl

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group (including the case where adjacent two groups form an acetal bonding), a C<sub>1-6</sub> normal or branched alkylthio group, a C<sub>1-6</sub> normal or branched alkylsulfonyl group, a C<sub>1-6</sub> normal or branched acylamino group, a trihalomethyl group, a trihalomethoxy group, a phenyl group, an oxo group or a phenoxy group optionally substituted with one or more halogen atoms, and the substituent may substitute singly or plurally independently at arbitrary position(s) of the alkylene group or an alkenylene group; between atoms, the alkylene group or alkenylene group optionally contains one or more of ·O-, ·S-, ·SO<sub>2</sub>· or ·NR<sup>4</sup>-, but this atom or atomic group does not bond directly to the M, and here R<sup>4</sup> is a hydrogen atom or a C<sub>1-6</sub> normal or branched alkyl group};

E expresses 'COOR4, 'SO<sub>3</sub>R4, 'CONHR5, 'SO<sub>2</sub>NHR4, 'PO(OR6)<sub>2</sub>, a tetrazol-5-yl group, a 5-oxo-1,2,4-oxadiazol-3-yl group or a 5-oxo-1,2,4-thiadiazol-3-yl group (here, R4 is similarly defined as above; R5 is a hydrogen atom, a cyano group, or a C<sub>1-6</sub> normal or branched alkyl group; R6 is a hydrogen atom, a C<sub>1-6</sub> normal or branched alkyl group, or trifluoromethylsulfonyl group, or its pharmaceutically permissible salt);

G is a substituted or unsubstituted C<sub>1-6</sub> normal or branched alkylene group {between atoms, the alkylene group optionally contains one or more of -O-, -S-, -SO<sub>2</sub>- or -NR<sup>4</sup>-, but this atom or atomic group does not bond directly to the nitrogen atom of the imidazole ring (R<sup>4</sup> is similarly defined as above), and the substituent is a halogen atom, a hydroxyl group, a nitro group, a cyano group, a C<sub>1-6</sub> normal or branched alkoxyl group (including the case where adjacent two groups form an acetal bonding), a trihalomethyl group, a trihalomethoxy group, a phenyl group or an oxo group};

J is a substituted or unsubstituted  $C_{1\cdot6}$  normal, cyclic or branched alkyl group, a substituted or unsubstituted  $C_{4\cdot10}$  aryl group {the substituent permissible to the groups is a halogen atom, a hydroxyl group, a nitro group, a cyano group,  $\text{-COOR}^7$  (here,  $R^7$  is a hydrogen atom or a  $C_{1\cdot4}$  alkyl group), a  $C_{1\cdot6}$  normal, cyclic or branched alkyl group, a  $C_{1\cdot6}$  normal or branched alkoxyl group (including the case where adjacent two groups form an acetal bonding), a  $C_{1\cdot6}$  normal or branched alkylthio group, a  $C_{1\cdot6}$  normal or branched alkylsulfonyl group, a  $C_{1\cdot6}$  normal or branched alkylsulfonyl group, a  $C_{1\cdot6}$  acyl group, a  $C_{1\cdot6}$  normal or branched acylamino group, a trihalomethyl group, a trihalomethoxy

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group, a phenyl group, an oxo group, or a phenoxy group optionally substituted with one or more halogen atoms; the substituent may substitute singly or plurally independently at arbitrary position(s) of the alkyl group or aryl group; and the substituent is further optionally substituted with a halogen atom, a hydroxyl group, a nitro group, a cyano group, an acyl group, a trihalomethyl group, a phenyl group, an oxo group or a phenoxy group optionally substituted with a halogen atom); and

M is a sulfur atom, a sulfinyl group, a sulfonyl group, a single bond or  ${}^{\circ}CR^8R^{9}$  (here,  $R^8$  and  $R^9$  are each at the same time or independently a hydrogen atom or a  $C_{1-4}$  alkyl group)].

- 2. An inhibitor against human chymase activity set forth in Claim 1 wherein the ring marked with A in the above formula (1) is a benzene ring.
- 3. An inhibitor against human chymase activity set forth in Claim 1 wherein the ring marked with A in the above formula (1) is a pyridine ring.
- 4. An inhibitor against human chymase activity set forth in one out of Claims 1 to 3 wherein  $X^1$  and  $X^2$  in the above formula (1) are each at the same time or independently a hydrogen atom, a halogen atom, a trihalomethyl group, a cyano group, a substituted or unsubstituted  $C_{1\cdot 3}$  normal or branched alkyl group, a substituted or unsubstituted  $C_{1\cdot 3}$  normal or branched alkoxyl group, or a substituted or unsubstituted  $C_{1\cdot 3}$  normal or branched alkylthio group.
- 5. An inhibitor against human chymase activity set forth in one out of Claims 1 to 4 wherein J in the above formula (1) is a group described in the following formula (2) or (3),

$$X_3$$
 (2)  $X_5$  (3)

[here, X³, X⁴ and X⁵ are each at the same time or independently a hydrogen atom, a halogen atom, a hydroxyl group, a nitro group, a cyano group, a trihalomethyl group, a trihalomethoxy group, -COOR7 (here, R7 is a hydrogen atom or a C₁-4 alkyl group), a substituted or unsubstituted C₁-3 normal or branched alkyl group, a substituted or unsubstituted C₁-3 normal or branched

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alkoxyl group, a substituted or unsubstituted  $C_{1\cdot3}$  normal or branched alkylthio group, a substituted or unsubstituted  $C_{1\cdot3}$  normal or branched alkylsulfonyl group, or a substituted or unsubstituted  $C_{1\cdot3}$  normal or branched alkylsulfinyl group; there is no limitation regarding the substitution positions of  $X^3$ ,  $X^4$  and  $X^5$  on the benzene ring or the naphthalene ringl.

- 6. An inhibitor against human chymase activity set forth in one out of Claims 1 to 5 wherein M in the above-mentioned formula (1) is a sulfur atom.
- 7. An inhibitor against human chymase activity set forth in one out of Claims 1 to 6 wherein B in the above mentioned formula (1) is a substituted or unsubstituted C<sub>1-6</sub> normal, cyclic or branched alkylene group.
- 8. An inhibitor against human chymase activity set forth in one out of Claims 1 to 7 wherein G in the above-mentioned formula (1) is -CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>COO-, -CH<sub>2</sub>C
- 9. An inhibitor against human chymase activity set forth in one out of Claims 1 to 8 wherein E in the above-mentioned formula (1) is -COOH.
- 10. A benzimidazole derivative expressed by the following formula (4) or its pharmaceutically permissible salt,

$$X^1$$
 $A$ 
 $N$ 
 $M-B-E$ 
 $X^2$ 
 $G$ 
 $G$ 

[in the formula (4), the definitions of the ring marked with A, and  $X^1$ ,  $X^2$ , B, E, G, J and M are same as those in the above formula (1); however, excepting the case where at least one of  $X^1$  and  $X^2$  is a cyano group,  $-CH_2NH_2$ ,  $-CH=NR^1$ ,  $-CH=NOR^1$  or  $-CONR^1R^2$  (here,  $R^1$  and  $R^2$  are each a hydrogen atom or a  $C_{1-4}$  alkyl group), J expresses only a substituted naphthalene ring].

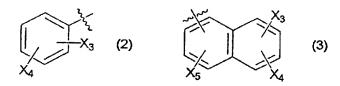
11. A benzimidazole derivative or its pharmaceutically permissible salt set forth in Claim 10 wherein X<sup>1</sup> and X<sup>2</sup> in the above formula (4) are each a hydrogen atom, a cyano group, -CH<sub>2</sub>NH<sub>2</sub>, -CH=NR<sup>1</sup>, -CH=NOR<sup>1</sup> or -CONR<sup>1</sup>R<sup>2</sup> (here, R<sup>1</sup> and R<sup>2</sup> are each a hydrogen atom or a C<sub>1-4</sub> alkyl group; X<sup>1</sup> and X<sup>2</sup> are not hydrogen at the same time).

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- 12. A benzimidazole derivative or its pharmaceutically permissible salt set forth in Claim 10 wherein X1 and X2 in the above formula (4) are each at the same time or independently a hydrogen atom, a halogen atom, a trihalomethyl group, a hydroxyl group, a nitro group, -CH=NR1 (here, R1 is a hydrogen atom or a  $C_{1-4}$  alkyl group), -COOR<sup>3</sup> (here,  $R^3$  is a hydrogen atom or a  $C_{1-4}$  alkyl group), a substituted or unsubstituted C1-6 normal, cyclic or branched alkyl group, a substituted or unsubstituted C3-7 cycloalkyl, a substituted or unsubstituted C1-6 normal or branched alkoxyl group, a substituted or unsubstituted C1-6 normal or branched alkylthio group, a substituted or unsubstituted C<sub>1-6</sub> normal or branched alkylsulfonyl group or a substituted or unsubstituted C1-6 normal or branched alkylsulfinyl group {the substituent permissible to the groups is a halogen atom, a hydroxyl group, a nitro group, a cyano group, an acyl group, a trihalomethyl group, a trihalomethoxy group, a phenyl group, an oxo group or a phenoxy group optionally substituted with one or more halogen atoms, and the substituent may substitute singly or plurally independently at arbitrary position(s)}.
- 13. A benzimidazole derivative or its pharmaceutically permissible salt set forth in Claim 10 wherein X<sup>1</sup> and X<sup>2</sup> in the above formula (4) are each a hydrogen atom or a cyano group (here, X<sup>1</sup> and X<sup>2</sup> can not be hydrogen toms at the same time).
- 14. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 13 wherein M in the above formula (4) is a sulfur atom.
- 15. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 14 wherein B in the above formula (4) is a substituted or unsubstituted C<sub>1-6</sub> normal, cyclic or branched alkylene group.
- 16. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 15 wherein J in the above formula (4) is a group expressed by the following formula (2) or (3),



C)

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[here,  $X^3$ ,  $X^4$  and  $X^5$  are each at the same time or independently a hydrogen atom, a halogen atom, a hydroxyl group, a nitro group, a cyano group, a trihalomethyl group, a trihalomethoxy group,  ${}^{\cdot}COOR^7$  (here,  $R^7$  is a hydrogen atom or a  $C_{1\cdot 4}$  alkyl group), a substituted or unsubstituted  $C_{1\cdot 3}$  normal or branched alkyl group, a substituted or unsubstituted  $C_{1\cdot 3}$  normal or branched alkylthio group, a substituted or unsubstituted  $C_{1\cdot 3}$  normal or branched alkylthio group, a substituted or unsubstituted  $C_{1\cdot 3}$  normal or branched alkylsulfonyl group, or a substituted or unsubstituted  $C_{1\cdot 3}$  normal or branched alkylsulfinyl group; there is no limitation regarding the substitution positions of  $X^3$ ,  $X^4$  and  $X^5$  on the benzene ring or the naphthalene ring].

- 17. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 16 wherein G in the above formula (4) is -CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>CH<sub>2</sub>O-, -CH<sub>2</sub>CONH-, -CO-, -SO<sub>2</sub>-, -CH<sub>2</sub>SO<sub>2</sub>-, -CH<sub>2</sub>S- or -CH<sub>2</sub>CH<sub>2</sub>S- (J bonds to the right side of said group).
- 18. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 17 wherein E in the above formula (4) is COOH.
- 19. A pharmaceutical composition consisting of a benzimidazole derivative and/or its pharmaceutically permissible salt set forth in one out of Claims 10 to 18, and a pharmaceutically permissible carrier.
- 20. A chymase activity inhibitor set forth in one out of Claims 1 to 9 whose targeting disease is an inflammatory disease, an allergy disease, a respiratory disease, a cardiovascular disease or a bone/cartridge metabolic disease.
- 21. A human chymase activity inhibitor set forth in Claim 20 which is a preventing agent or a treating agent of a disease.